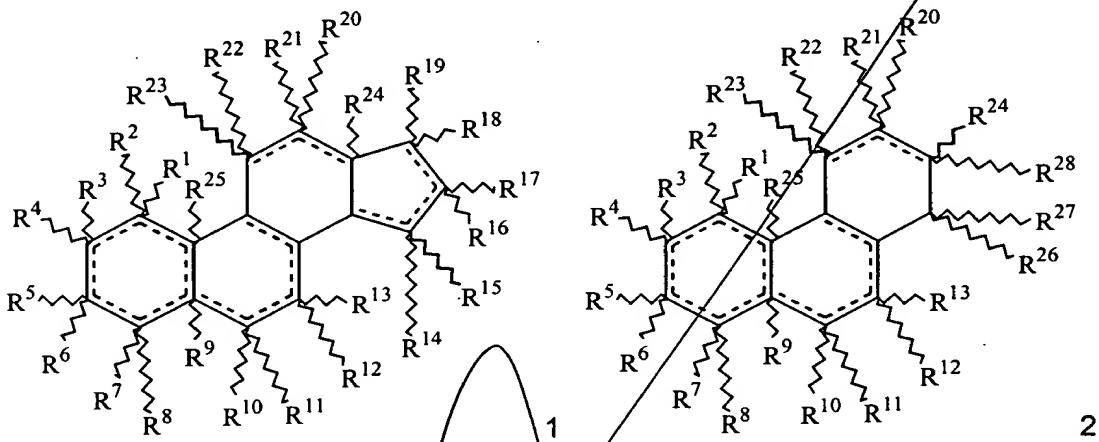


CLAIMS

What is claimed is:

5 1. A method to treat or prevent an androgen responsive disease in a subject, or to ameliorate one or more symptoms thereof, comprising administering to a subject, or delivering to the subject's tissues, an effective amount of a compound of formula 1 or 2



10 wherein, R¹-R²⁸ independently are -H, -OR^{PR}, -SR^{PR}, -N(R^{PR})₂, -O-Si-(R^A)₃, -CN, -NO₂, -OSO₃H, -OPO₃H, an ester, a phosphoester, a phosphonoester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a carbonate, a carbamate, a sulfonamide, a halogen, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heterocycle, an optionally substituted heteraryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide, a polymer, or, when two of R¹-R²⁸ are linked to the same carbon atom (e.g., R⁵ and R⁶ or R¹² and R¹³), they independently comprise a double bond, such as =O, =S, =CH₂ or =N-OH, at one or more ring carbons, and provided that when one or more of the rings comprises a double bond, one of the variable groups that is bonded to the double bonded ring carbon is absent; each R^A independently is C₁₋₈ alkyl; each R^{PR} independently is -H or a protecting group; and the dotted lines

are optional double bonds, provided that 2, 3, 4 or more of R¹-R²⁸ are not hydrogen, and provided that compound is not 17 α -ethynyl-17 β -hydroxy-4-estrene-3-one, 17 α -ethynyl-17 β -hydroxy-5(10)-estrene-3-one, 1, 3, 5(10)-estratriene-17 α -ethynyl-3 β ,17 β -diol, 17 α -ethynyl-androst-5-ene-3 β ,17 β -diol, 17 α -ethynyl-17 β -hydroxy-4-androsten-3-one, 3 β ,17 β -dihydroxy-androst-5-en-16-one, 3 β ,17 β -dihydroxy-androst-4-en, 3 β ,-methylcarbonate-androst-5-en-7,17-dione, 3 β ,17 β -dihydroxy-androst-5-en-11-one, 3 β ,17 β -diacetoxy-androst-5-ene-7 α ,17 β -diol, 3 β ,17 β -diacetoxy-androst-5-ene-7-one, 3 β -methoxy-androst-5-ene-7 α ,17 β -diol, 17 β -methoxy-androst-3,5-diene-7-one, 17 β -hydroxy-androst-3,5-diene-7-one, 5 α -androstane-3 α ,17 β -diol or an an 10 ester, ether or salt of any of these compounds.

2. The method of claim 1 wherein the androgen responsive disease is prostate cancer, benign prostatic hyperplasia, breast cancer, alopecia, acne, hypogonadism or hirsutism.

3. The method of claim 1 wherein the formula 1 or formula 2 compound is 15 a compound or genus of compounds named in compound groups 1 through 13-11 as disclosed herein.

4. The method of claim 1 wherein the subject is a human.

5. The method of claim 1 wherein the formula 1 or formula 2 compound is present in a composition that comprises a pharmaceutically acceptable carrier.

20 6. The method of claim 1 wherein the method further comprises administering to the subject a second therapy.

7. The method of claim 6 wherein the second therapy is optionally selected from administration to the subject of one or more therapeutic compound, optionally selected from the group consisting of hydroxyflutamide, leuprolide, 25 megestrol, diethylstilbestrol, aminoglutethimide, spironolactone, tamoxifen, cyproterone acetate and bicalutamide.

8. The method of claim 1 wherein the formula 1 or formula 2 compound is an analog of 1, 3, 5(10)-estratriene-17 α -ethynyl-3 β ,17 β -diol, 17 α -ethynyl-androstene-3 β ,17 β -diol, 3 β ,17 β -dihydroxy-androst-5-en-16-one, 3 β ,-methylcarbonate-androst-5-en-7,17-dione, wherein the analog comprises 1, 2, 3, 4, 5 30 or 6 independently selected moieties selected from an ester, a thioester, a sulfate ester, an ether, a thioether, a carbonate, a carbamate, a sulfonamide, a

monosaccharide, a disaccharide, an oligosaccharide, an amino acid or a peptide, provided that at least one of these moieties is not an ester or an ether.

9. The method of claim 1 wherein the formula 1 or formula 2 compound comprises 1, 2, 3, 4, 5 or 6 moieties independently selected from -OH, =O, -SH, =S, -NH₂, halogen, =CH₂, =NOH, =NOC(O)CH₃, -O-C(O)-(CH₂)_m-(CF₂)_n-CH₃, -O-C(O)-(CH₂)_m-(CF₂)_n-CF₃, -O-C(O)-(CH₂)_m-(CF₂)_n-CH₂F, -O-C(O)-O-(CH₂)_m-(CF₂)_n-CH₃, -O-C(O)-O-(CH₂)_m-(CF₂)_n-CF₃, -O-C(O)-O-(CH₂)_m-(CF₂)_n-CH₂F, -O-C(O)-NH-(CH₂)_m-(CF₂)_n-CH₃, -O-C(O)-NH-(CH₂)_m-(CF₂)_n-CF₃, -O-C(O)-NH-(CH₂)_m-(CF₂)_n-CH₂F, wherein m is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10, and n is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10, -CH(CH₃)-(CH₂)₂-C(O)NH-CH₂COOH, -CH(CH₃)-(CH₂)₂-C(O)NH-CH₂SO₃H, -OSi(CH₃)₂C(CH₃)₃, -C(OH)=CHCH₃, =CH(CH₂)₀₋₁₅CH₃, -(CH₂)₀₋₁₄CH₂F, -(CH₂)₀₋₁₄CH₂Cl, -(CH₂)₀₋₁₄CH₂Br, -(CH₂)₀₋₁₄CH₂I, -(CH₂)₂₋₁₀-O-(CH₂)₀₋₄CH₃, -(CH₂)₂₋₁₀-S-(CH₂)₀₋₄CH₃, -(CH₂)₂₋₁₀-NH-(CH₂)₀₋₄CH₃, -O-(CH₂)₀₋₁₄CH₂F, -O-(CH₂)₀₋₁₄CH₂Cl, -O-(CH₂)₀₋₁₄CH₂Br, -O-(CH₂)₀₋₁₄CH₂I, -O-(CH₂)₂₋₁₀-O-(CH₂)₀₋₄CH₃, -O-(CH₂)₂₋₁₀-S-(CH₂)₀₋₄CH₃, -O-(CH₂)₂₋₁₀-NH-(CH₂)₀₋₄CH₃, -O-C(O)-(CH₂)₀₋₁₄CH₂F, -O-C(O)-(CH₂)₀₋₁₄CH₂Cl, -O-C(O)-(CH₂)₀₋₁₄CH₂Br, -O-C(O)-(CH₂)₀₋₁₄CH₂I, -O-C(O)-(CH₂)₂₋₁₀-O-(CH₂)₀₋₄CH₃, -O-C(O)-(CH₂)₂₋₁₀-S-(CH₂)₀₋₄CH₃, -O-C(O)-(CH₂)₂₋₁₀-NH-(CH₂)₀₋₄CH₃, -O-C(S)-(CH₂)₀₋₁₄CH₂F, -O-C(S)-(CH₂)₀₋₁₄CH₂Cl, -O-C(S)-(CH₂)₀₋₁₄CH₂Br, -O-C(S)-(CH₂)₀₋₁₄CH₂I, -O-C(S)-(CH₂)₂₋₁₀-O-(CH₂)₀₋₄CH₃, -O-C(S)-(CH₂)₂₋₁₀-S-(CH₂)₀₋₄CH₃, -O-C(S)-(CH₂)₂₋₁₀-NH-(CH₂)₀₋₄CH₃, -NH-(CH₂)₀₋₄CH₃, -(CH₂)₀₋₁₆NH₂, -(CH₂)₀₋₁₆CH₃, -(CH₂)₀₋₁₅CN, -(CH₂)₀₋₁₅CH=CH₂, -(CH₂)₀₋₁₅NHCH(O), -(CH₂)₀₋₁₆NH-(CH₂)₀₋₁₅CH₃, -(CH₂)₀₋₁₅CCH, -(CH₂)₀₋₁₅OC(O)CH₃, -(CH₂)₀₋₁₅OCH(OH)CH₃, -(CH₂)₀₋₁₅C(O)OCH₃, -(CH₂)₀₋₁₅C(O)OCH₂CH₃, -(CH₂)₀₋₁₅C(O)(CH₂)₀₋₁₅CH₃, -(CH₂)₀₋₁₅C(O)(CH₂)₀₋₁₅CH₂OH, -O(CH₂)₁₋₁₆NH₂, -O(CH₂)₁₋₁₅CH₃, -O(CH₂)₁₋₁₅CN, -O(CH₂)₁₋₁₅CH=CH₂, -O(CH₂)₁₋₁₅NHCH(O), -O(CH₂)₁₋₁₆NH-(CH₂)₁₋₁₅CH₃, -O(CH₂)₁₋₁₅CCH, -O(CH₂)₁₋₁₅OC(O)CH₃, -O(CH₂)₁₋₁₅OCH(OH)CH₃, -O(CH₂)₁₋₁₅C(O)OCH₃, -O(CH₂)₁₋₁₅C(O)OCH₂CH₃, -O(CH₂)₁₋₁₅C(O)(CH₂)₀₋₁₅CH₃, -O(CH₂)₁₋₁₅C(O)(CH₂)₀₋₁₅CH₂OH, -OC(O)(CH₂)₁₋₁₆NH₂, -OC(O)(CH₂)₁₋₁₅CH₃, -C(O)O(CH₂)₁₋₁₅CN, -C(O)O(CH₂)₁₋₁₅CH=CH₂, -OC(O)(CH₂)₁₋₁₅NHCH(O), -OC(O)(CH₂)₁₋₁₆NH-(CH₂)₁₋₁₅CH₃, -OC(O)(CH₂)₁₋₁₅CCH, -OC(O)(CH₂)₁₋₁₅OC(O)CH₃, -OC(O)(CH₂)₁₋₁₅OCH(OH)CH₃, -OC(O)(CH₂)₁₋₁₅C(O)OCH₃, -OC(O)(CH₂)₁₋₁₅C(O)OCH₂CH₃, -OC(O)(CH₂)₁₋₁₅C(O)(CH₂)₀₋₁₅CH₃, -OC(O)(CH₂)₁₋₁₅C(O)(CH₂)₀₋₁₅CH₂OH, -C(O)-O(CH₂)_mCH₃ (where m is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10), -(CH₂)_m-C(O)OH (where m is 1,

2, 3, 4, 5, 6, 7, 8, 9 or 10), phosphoenolpyruvate, D-glucosamine, glucolic acid, glucuronic acid, pantothenic acid, pyruvic acid, glucose, fructose, mannose, rhamnose, fucose, sucrose, lactose, glycerol, 3-phosphoglycerate, glycine, alanine, phenylalanine, glutamic acid, lysine, threonine, proline, 4-hydroxyproline and a C₄₋₂₂

5 fatty acid that is linear or branched and that is saturated or unsaturated, provided that at least one of these moieties is not an ester or an ether.

10. The method of claim 1 wherein

(a) R²⁴ is -CH₂OH, -CH₂-O-C(O)(CH₂)₁₋₁₆NH₂, -OC(O)(CH₂)₁₋₁₅CH₃ or -OC(O)(CH₂)₁₋₁₅CH₂OH, or

10 (b) R²⁵ is -CH₂OH, -CH₂-O-C(O)(CH₂)₁₋₁₆NH₂, -OC(O)(CH₂)₁₋₁₅CH₃ or -OC(O)(CH₂)₁₋₁₅CH₂OH, or

(c) R¹ is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(d) R¹ and R² together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or they are both -OH, or a halogen, or

15 (e) R³ is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(f) R³ and R⁴ together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or they are both -OH, or a halogen, or

(g) R⁷ is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(h) R⁷ and R⁸ together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or

20 they are both -OH, or a halogen, or

(i) R¹⁰ is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(j) R¹⁰ and R¹¹ together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or

they are both -OH, or a halogen, or

(k) R¹⁴ is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(l) R¹⁴ and R¹⁵ together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or

25 they are both -OH, or a halogen, or

(m) R¹⁶ is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(n) R¹⁶ and R¹⁷ together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or

they are both -OH, or a halogen, or

(o) R²⁰ is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(p) R²⁰ and R²¹ together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or

30 they are both -OH, or a halogen, or

(q) R^{22} is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(r) R^{22} and R^{23} together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or
they are both -OH, or a halogen, or

(s) R^{24} is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

5 (t) R^{24} and R^{28} together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or
they are both -OH, or a halogen, or

(u) R^{26} is -OH, -SH, -NH₂, a halogen, -O-C(O)-CH₃, or -O-C(O)-C₂H₅, or

(v) R^{26} and R^{27} together are =O, =S, =CH₂, =CHCH₃, =NOH, =NOC(O)CH₃, or
they are both -OH, or an independently selected halogen or they are both the same
10 halogen.

